BURGESS REAGENT PREP'D FROM: CHLOROSULFONYL ISOCYANATE, TRIETHYLAMINE IN MeOH.

ACTION CREATED 10/685,658 TSRI 910.1

"BURGESS REAGENTS SULFAMIDES"

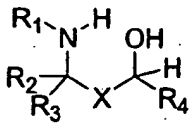
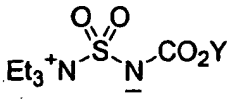
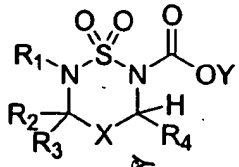
What is claimed is:

DOM. PRIO 10/12/02

1. A process for the synthesizing a mono-protected, non-symmetrical cyclic sulfamide III from an amino alcohol I and Burgess reagent II represented by the following structures:

548/127

544/8

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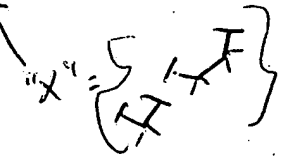
I II III

"X" = 

the process comprising the following steps:

DRAWINGS SUBMT'D 10/14/03 ARE APPROVED

Step A: contacting a solution of the amino alcohol I in a non-reactive solvent with a quantity of the Burgess reagent II ~~under reaction conditions~~ for producing sulfamide III; then, after consuming amino alcohol I

O.K'D BY APP'S COUNSEL DONALD LEWIS 3 AUGUST 2003

Step B: neutralizing the reaction of said Step A by dilution with a non-reactive solvent and treatment with an aqueous solution; and then

ABSTRACT O.K.

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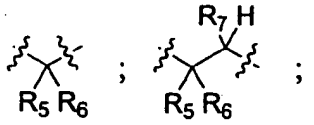
Step C: isolating sulfamide III;

wherein:

X is absent or is a diradical selected from the group consisting of the following structures:



I.D.S. SUBMITTED 27 JUN 05 ITEM #2 ON PAGE 2 OF 1449 - AUTHOR HAS BEEN CORRECTED TO BURGESS, NOT ONAK

R_1 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, alkylaryl, and benzyl, or is a diradical forming a ring with R_2;

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858-784-2937

REF # TSRI 910.1

INVENTOR NAMES SEARCH 7/25/05

R_2 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, alkylaryl, and benzyl, or is a diradical forming a ring with R_1 or R_3 or R_4, or is a diradical forming a part of an aromatic ring with R_5;

R_3 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, alkylaryl, and benzyl, or is a diradical forming a ring with R_1 or R_2 or R_5 or is a diradical forming half of a π-bond with R_6;

R_4 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, and benzyl or is a diradical forming a ring with R_2 or with R_5;

R_5 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, alkylaryl, and benzyl, or is a diradical forming a ring with R_1 or R_2 or R_6 or is a diradical forming part of an aromatic ring with R_3;

R_6 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, alkylaryl, and benzyl, or is a diradical forming a ring with R_1 or R_2 or R_5 or is a diradical forming half of a π-bond as part of an aromatic ring with R_3;

R_7 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, alkylaryl, and benzyl;

Y is a radical selected from the group consisting of $-CH_3$, $-CH_2Ph$ and $-CH_2CH=CH_2$;

with the following proviso:

if R_2 and R_5 are part of an aromatic ring; then R_3 and R_6 make up a full π-bond;

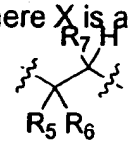
if X is absent, then R_3 cannot be half of a π-bond and R_2 is not part of an aromatic ring.

2. A process according to claim 1 where the quantity of Burgess reagent II is 2.5 equivalents.

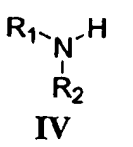
3. A process according to claim 2 where X is absent.

4. A process according to claim 2 where X is a diradical with the following structure:

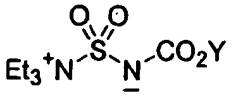
5. A process according to claim 2 where X is a diradical with the following structure:



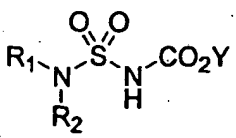
6. A process for synthesizing a mono-protected, non-symmetrical sulfamide V from an amine IV and Burgess reagent II represented by the following structures:



IV ;



II ;



V ;

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the process comprising the following steps:

Step A: contacting a solution of the amine IV with a quantity of Burgess reagent II ~~for under reaction conditions~~ for producing sulfamide V; then

Step B: neutralizing the reaction of said Step A by dilution with a non-reactive solvent and treatment with an aqueous solution; and then

Step C: isolating the sulfamide V;

wherein:

R_1 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, alkylaryl, and benzyl, or a diradical forming a ring with R_2;

R_2 is a radical selected from the group consisting of hydrogen, C_1-C_6 alkyl, aryl, heteroaryl, alkylaryl, and benzyl, or a diradical forming a ring with R_1; and

Y is a radical selected from the group consisting of $-CH_3$, $-CH_2Ph$ and $-CH_2CH=CH_2$.

7. A process according to claim 6 wherein the quantity of Burgess reagent II is 1.25 equivalents.